

Peptides and peptidomimetics capable of modulating apoptosis through their interaction with cellular IAPs (inhibitor of apoptosis proteins) are disclosed. The peptides and mimetics are based on the N-terminal tetrapeptide of IAP-binding proteins, such as Smac/DIABLO, Hid, Grim and Reaper, which interact with a specific surface groove of IAP. Also disclosed are methods of using these peptides and peptidomimetics for therapeutic purposes and for rational drug design.